Access DB# 202452

SEARCH REQUEST FORM

Scientific and Technical Information Center

Art Unit: 711 Phone	Number 30 2 -	Examiner #: 6933 Date: 9/8/66 Serial Number: 6/6/3, 97/8 Results Format Preferred (circle): PAPER DISK E-MAI	L				
If more than one search is submitted, please prioritize searches in order of need:							
Please provide a detailed statement of the Include the elected species or structures,	e search topic, and desc keywords, synonyms, a is that may have a specia	cribe as specifically as possible the subject matter to be searched. acronyms, and registry numbers, and combine with the concept or al meaning. Give examples or relevant citations, authors, etc, if	•				
Title of Invention:							
Inventors (please provide full names):			_				
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Earliest Priority Filing Date:							
For Sequence Searches Only Please incl appropriate serial number.	ude all pertinent informat	tion (parent, child, divisional, or issued patent numbers) along with the					
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STAFF USE ONLY	Type of Search	Vendors and cost where applicable					
Searcher: EL	NA Sequence (#)	STN					
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Date Searcher Picked Up:	Bibliographic						
Date Completed: 1-22-06 Searcher Prep & Review Time:	Litigation	Lexis/NexisSequence Systems					
Clerical Prep Time:	Patent Family	-WWW/Internet					
		Oh(:6)					

PTO-1590 (8-01)

623,978

What is claimed is:

1. A compound of formula (I):
$$\begin{array}{ccc} A_{RC} & & & & & & & & \\ A_{RC} & & & & & & & \\ R_1\text{-}(CH_2CH_2O)_n\text{-}CH_2CH_2\text{-}X\text{-}Y\text{-}NH\text{-}}(CH_2)_p\text{-}CHO \text{ (I)} \\ & & & & & & & & & \\ \end{array}$$
 wherein
$$\begin{array}{cccc} E & & & & & & & \\ E & & & & & & \\ \end{array}$$

R, is a capping group,

X is O or NH,

Y is selected from the group consisting of

O O OH O O
$$(CH_2)_m$$
-C-, -C-, -($(CH_2)_{1-8}$ -CH-($(CH_2)_{1-8}$, -C-($(CH_2)_{1-5}$, Z

Z is a side chain of an amino acid, m is from 1 to 17, n is from 10 to 10,000, and p is from 1 to 3.

2. A compound according to claim 1, wherein R₁ is selected from the group consisting of halogen, epoxide, maleimide, orthopyridyl disulfide, tosylate, isocyanate, hydrazine hydrate, cyanuric halide, N-succinimidyloxy, sulfo-N-succinimidyloxy, 1-benzotriazolyloxy, 1-imidazolyloxy, p-nitrophenyloxy, and

O
$$\parallel$$
 -CH₂CH₂-O-(CH₂)_m-C-NH-(CH₂)_p-CHO.



United States Patent and Trademark Office

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CONFIRMATION NO. 5323

SERIAL NUMBE 10/623,978	FILING OR 371(c) DATE 07/21/2003 RULE	C	CLASS 528	GROUP ART UNIT		ATTORNEY DOCKET NO. 21267 US1		
APPLICANTS Chee-Youb V	Von, Livingston, NJ;							
** CONTINUING DATA ******************************** This appln claims benefit of 60/398,196 07/24/2002 ** FOREIGN APPLICATIONS ************************************								
Foreign Priority claimed yes 2 no 35 USC 119 (a-d) conditions yes no no met Allowance Verified and Acknowledged Examiner's Signature Initials			STATE OR COUNTRY NJ	SHEETS DRAWING 0		TOTA CLAI 86	MS	INDEPENDENT CLAIMS 9
ADDRESS 00151								
TITLE			-					
Polyethylene glycol aldehydes								
RECEIVED No	RECEIVED No to charge/credit DEPOSIT ACCOUNT			All Fees 1.16 Fees (Filing) 1.17 Fees (Processing Ext. of time) 1.18 Fees (Issue) Other Credit				

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FILE 'REGISTRY' ENTERED AT 15:52:31 ON 22 SEP 2006
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L20

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L1	FILE 'LREGISTRY' ENTERED AT 15:20:45 ON 22 SEP 2006 STR
L2 L3 L4 L5 L6	FILE 'REGISTRY' ENTERED AT 15:29:14 ON 22 SEP 2006 107966 S C2H40 57252 S C3H60 E POLYETHER/PCT 275620 S E3 131384 S (L2 OR L3) AND L4 1 S L1 SSS SAM SUB=L5
L7 L8 L9 L10	FILE 'HCAPLUS' ENTERED AT 15:31:18 ON 22 SEP 2006 4 S L6 380 S WON C?/AU 33918 S ALDEHYDE#/TI 1 S L8 AND L9 SEL RN
L11 L12	FILE 'REGISTRY' ENTERED AT 15:34:17 ON 22 SEP 2006 14 S E1-E14 12 S L11 AND L4
L13	FILE 'LREGISTRY' ENTERED AT 15:36:54 ON 22 SEP 2006 STR L1
L14 L15 L16 L17	FILE 'REGISTRY' ENTERED AT 15:44:02 ON 22 SEP 2006 4 S L13 SSS SAM SUB=L5 44 S L13 SSS FUL SUB=L5 SAV L15 TRU978/A 1 S L1 SSS SAM SUB=L15 22 S L1 SSS FUL SUB=L15 SAV L17 TRU978A/A
L18 L19	FILE 'CAOLD' ENTERED AT 15:49:07 ON 22 SEP 2006 0 S L17 0 S L15
	FILE 'ZCA' ENTERED AT 15:49:18 ON 22 SEP 2006

FILE 'REGISTRY' ENTERED AT 15:52:31 ON 22 SEP 2006

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=> D L17 QUE STAT
L1 STR

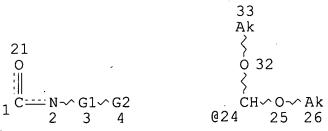
21
0
|||
1 C---- N ~ G1 ~ CHO
2 3 4
```

REP G1=(1-3) CH2 NODE ATTRIBUTES: DEFAULT MLEVEL IS ATOM DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED NUMBER OF NODES IS 5

STEREO ATTRIBUTES: NONE



REP G1=(1-3) CH2 VAR G2=CHO/24 NODE ATTRIBUTES: CONNECT IS E1 RC AT 26 CONNECT IS E1 RC AT 33 DEFAULT MLEVEL IS ATOM
GGCAT IS SAT AT 26
GGCAT IS SAT AT 33
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED NUMBER OF NODES IS 10

STEREO ATTRIBUTES: NONE

L15 44 SEA FILE=REGISTRY SUB=L5 SSS FUL L13
L17 22 SEA FILE=REGISTRY SUB=L15 SSS FUL L1

100.0% PROCESSED 44 ITERATIONS 22 ANSWERS

SEARCH TIME: 00.00.01

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=> D L25 1-16 CBIB ABS HITSTR HITRN

L25 ANSWER 1 OF 16 ZCA COPYRIGHT 2006 ACS on STN

144:299431 Albumin-based colloid composition having at least one protected thiol region, methods of making, and methods of use. Assaly, Ragheb A.; Dignam, J. David; Shapiro, Joseph I. (Medical University of Ohio At Toledo, USA). U.S. Pat. Appl. Publ. US 2006057070 A1 20060316, 58 pp., Cont.-in-part of U.S. Ser. No. 985,798. (English). CODEN: USXXCO. APPLICATION: US 2005-258646 20051025. PRIORITY: US 2002-2002/106793 20020326; US 2004-2004/985798 20041109.

AB A compn. comprising an albumin-based colloid compn. having at least one protected thiol region, method of making the same, and method for use, including treating hypovolemic conditions such as capillary leak syndrome and shock, are disclosed. The compn. also is modified with an indicator reagent such as chromophores. An example concerns the use of PEG-modified albumin in sepsis.

IT 533881-65-1

(albumin-based colloid compn. having at least one protected thiol region, methods of making, and methods of use)

RN 533881-65-1 ZCA

CN Poly(oxy-1,2-ethanediyl), α,α' -[[(1S)-1-[[(2-

oxoethyl)amino]carbonyl]-1,5-pentanediyl]bis(iminocarbonyl)]bis[.ome
ga.-methoxy- (9CI) (CA INDEX NAME)

IT **533881-65-1**

(albumin-based colloid compn. having at least one protected thiol region, methods of making, and methods of use)

L25 ANSWER 2 OF 16 ZCA COPYRIGHT 2006 ACS on STN

- 141:157893 Novel monofunctional polyethylene glycol aldehydes useful for pegylation. Rosen, Perry; Nho, Kwang (Sun Bio, Inc., USA). U.S. Pat. Appl. Publ. US 2004147687 A1 20040729, 21 pp., Cont.-in-part of U.S. Ser. No. 661,268. (English). CODEN: USXXCO. APPLICATION: US 2003-715607 20031118. PRIORITY: US 2003-661268 20030912; US 2003-431294 20030507; US 2002-303260 20021125; US 2002-407741P 20020903; US 2002-381503P 20020517; US 2002-348452P 20020116; KR 2001-78244 20011211.
- The present invention provides novel monofunctional polyethylene glycol aldehydes for the pegylation of therapeutically active proteins. The pegylated protein conjugates that are produced, retain a substantial portion of their therapeutic activity and are less immunogenic than the protein from which the conjugate is derived. New syntheses for prepg. such aldehydes are described.

IT 544706-94-7P 544706-96-9P 544707-00-8P 544707-01-9P 658083-75-1P

(novel monofunctional polyethylene glycol aldehydes for pegylation of therapeutically active proteins)

RN 544706-94-7 ZCA

CN Poly(oxy-1,2-ethanediyl), α -[2-[(3,3-diethoxypropyl)amino]-2-oxoethyl]- ω -methoxy- (9CI) (CA INDEX NAME)

RN 544706-96-9 ZCA

CN Poly(oxy-1,2-ethanediyl), α -[3-[(4,4-dimethoxybutyl)amino]-3-

oxopropyl]- ω -methoxy- (9CI) (CA INDEX NAME)

RN 544707-00-8 ZCA

CN Poly(oxy-1,2-ethanediyl), α -[[(4,4-dimethoxybutyl)amino]carbonyl]- ω -methoxy- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{OMe} & \text{O} \\ \mid & \mid \\ \text{MeO-CH-} (\text{CH}_2)_3 - \text{NH-C-} \\ \hline \end{array} \begin{array}{c} \text{O-CH}_2 - \text{CH}_2 \\ \hline \end{array} \begin{array}{c} \text{OMe} \\ \text{O} \end{array}$$

RN 544707-01-9 ZCA

CN Poly(oxy-1,2-ethanediyl), α -[2-[[[(3,3-diethoxypropyl)amino]carbonyl]amino]ethyl]- ω -methoxy- (9CI) (CA INDEX NAME)

RN 658083-75-1 ZCA

CN Poly(oxy-1,2-ethanediyl), α -[[(3,3-diethoxypropyl)amino]carbonyl]- ω -methoxy- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{OEt} & \text{O} \\ \mid & & \mid \\ \text{EtO-} \text{CH-} \text{CH}_2\text{-} \text{CH}_2\text{--} \text{NH-} \text{C} \\ \hline \end{array} \begin{array}{c} \text{O-} \text{CH}_2\text{--} \text{CH}_2 \\ \hline \end{array} \begin{array}{c} \text{OMe} \\ \text{O} \end{array}$$

IT 544706-95-8P 544706-97-0P 544706-99-2P 544707-02-0P 544708-06-7P

(novel monofunctional polyethylene glycol aldehydes for pegylation of therapeutically active proteins)

RN 544706-95-8 ZCA

CN Poly(oxy-1,2-ethanediyl), α -methyl- ω -[2-oxo-2-[(3-oxopropyl)amino]ethoxy]- (9CI) (CA INDEX NAME)

RN 544706-97-0 ZCA

CN Poly(oxy-1,2-ethanediyl), α -methyl- ω -[3-oxo-3-[(4-oxobutyl)amino]propoxy]- (9CI) (CA INDEX NAME)

RN 544706-99-2 ZCA

CN Poly(oxy-1,2-ethanediyl), α -[[(4-oxobutyl)amino]carbonyl]- ω -methoxy- (9CI) (CA INDEX NAME)

RN 544707-02-0 ZCA

CN Poly(oxy-1,2-ethanediyl), α -methyl- ω -[2-[[[(3-oxopropyl)amino]carbonyl]amino]ethoxy]- (9CI) (CA INDEX NAME)

$$\text{OHC-} \, \text{CH}_2 - \text{CH}_2 - \text{NH-} \, \text{C-} \, \text{NH-} \, \text{CH}_2 - \text{CH}_2 - \text{O} - \\ \hline \\ - \text{CH}_2 - \text{CH}_2 - \text{O} - \\ \hline \\ - \text{NH-} \, \text{CH}_2 - \text{CH}_2 - \text{O} - \\ \hline \\ - \text{NH-} \, \text{CH}_2 - \text{CH}_2 - \text{O} - \\ \hline \\ - \text{NH-} \, \text{CH}_2 - \text{CH}_2 - \text{O} - \\ \hline \\ - \text{NH-} \, \text{CH}_2 - \text{CH}_2 - \text{O} - \\ \hline \\ - \text{CH}_2 - \text{CH}_2 - \text{O} - \\ \hline \\ - \text{NH-} \, \text{CH}_2 - \text{CH}_2 - \text{CH}_2 - \text{O} - \\ \hline \\ - \text{CH}_2 - \text{CH}_2 - \text{CH}_2 - \text{CH}_2 - \text{CH}_2 - \\ \hline \\ - \text{CH}_2 - \text{CH}_2 - \text{CH}_2 - \text{CH}_2 - \\ \hline \\ - \text{CH}_2 - \text{CH}_2 - \text{CH}_2 - \\ \hline \\ - \text{CH}_2 - \text{CH}_2 - \text{CH}_2 - \\ \hline \\ -$$

RN 544708-06-7 ZCA

CN Poly(oxy-1,2-ethanediyl), α -[[(3-oxopropyl)amino]carbonyl]- ω -methoxy- (9CI) (CA INDEX NAME)

IT 544706-94-7P 544706-96-9P 544707-00-8P

544707-01-9P 658083-75-1P

(novel monofunctional polyethylene glycol aldehydes for pegylation of therapeutically active proteins)

IT 544706-95-8P 544706-97-0P 544706-99-2P 544707-02-0P 544708-06-7P

(novel monofunctional polyethylene glycol aldehydes for pegylation of therapeutically active proteins)

- L25 ANSWER 3 OF 16 ZCA COPYRIGHT 2006 ACS on STN
- 141:72062 monofunctional polyethylene glycol aldehydes, preparation and protein conjugate. Rosen, Perry; Nho, Kwang H. (Sun Bio, Inc., USA). U.S. Pat. Appl. Publ. US 2004122164 A1 20040624, 23 pp., Cont.-in-part of U.S. Pat. Appl. 2004 34,188. (English). CODEN: USXXCO. APPLICATION: US 2003-661268 20030912. PRIORITY: KR 2001-78244 20011211; US 2002-2002/303260 20021125; US 2003-2003/431294 20030507.
- AB The monofunctional polyethylene glycol aldehydes are used for the pegylation of therapeutically active proteins. The pegylated protein conjugates that are produced, retain a substantial portion of their therapeutic activity and are less immunogenic than the protein from which the conjugate is derived.
- IT 544706-95-8P 544706-97-0P 544706-99-2P 544707-02-0P 544708-06-7P

(polyethylene glycol aldehydes for conjugates with proteins)

RN 544706-95-8 ZCA

CN Poly(oxy-1,2-ethanediyl), α -methyl- ω -[2-oxo-2-[(3-oxopropyl)amino]ethoxy]- (9CL) (CA INDEX NAME)

OHC-
$$CH_2$$
- CH_2 - NH - C - CH_2 - O - CH_2 - CH_2 - O - Me

RN 544706-97-0 ZCA

CN Poly(oxy-1,2-ethanediyl), α -methyl- ω -[3-oxo-3-[(4-oxobutyl)amino]propoxy]- (9CI) (CA INDEX NAME)

OHC- (CH₂)
$$_3$$
-NH-C-CH₂-CH₂-O-CH₂-CH₂-O- $_n$ Me

RN 544706-99-2 ZCA

CN Poly(oxy-1,2-ethanediyl), α -[[(4-oxobutyl)amino]carbonyl]- ω -methoxy- (9CI) (CA INDEX NAME)

RN 544707-02-0 ZCA

CN Poly(oxy-1,2-ethanediyl), α -methyl- ω -[2-[[[(3-oxopropyl)amino]carbonyl]amino]ethoxy]- (9CI) (CA INDEX NAME)

RN 544708-06-7 ZCA

CN Poly(oxy-1,2-ethanediyl), α -[[(3-oxopropyl)amino]carbonyl]- ω -methoxy- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{OHC-CH}_2\text{-CH}_2\text{-NH-C} & \hline \\ \text{O-CH}_2\text{-CH}_2\text{-CH}_2 \\ \hline \end{array} \text{OMe}$$

IT 544706-94-7P 544706-96-9P 544706-98-1P 544707-00-8P 544707-01-9P

(polyethylene glycol aldehydes for conjugates with proteins)

RN 544706-94-7 ZCA

CN Poly(oxy-1,2-ethanediyl), α -[2-[(3,3-diethoxypropyl)amino]-2-oxoethyl]- ω -methoxy- (9CI) (CA INDEX NAME)

RN 544706-96-9 ZCA

CN Poly(oxy-1,2-ethanediyl), α -[3-[(4,4-dimethoxybutyl)amino]-3-oxopropyl]- ω -methoxy- (9CI) (CA INDEX NAME)

RN 544706-98-1 ZCA

CN Poly(oxy-1,2-ethanediyl), α -[[(4,4-diethoxybutyl)amino]carbonyl]- ω -methoxy- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{OEt} & \text{O} \\ \mid & \quad & \mid \\ \text{EtO-CH-(CH2)_3-NH-C} & \text{O-CH2-CH2-} \\ \end{array} \\ \text{OMe} \\ \end{array}$$

RN 544707-00-8 ZCA

CN Poly(oxy-1,2-ethanediyl), α -[[(4,4-dimethoxybutyl)amino]carbonyl]- ω -methoxy- (9CI) (CA INDEX NAME)

RN 544707-01-9 ZCA

CN Poly(oxy-1,2-ethanediyl), α -[2-[[[(3,3-diethoxypropyl)amino]carbonyl]amino]ethyl]- ω -methoxy- (9CI) (CA INDEX NAME)

IT 544706-95-8P 544706-97-0P 544706-99-2P 544707-02-0P 544708-06-7P

(polyethylene glycol aldehydes for conjugates with proteins)

IT 544706-94-7P 544706-96-9P 544706-98-1P

544707-00-8P 544707-01-9P

(polyethylene glycol aldehydes for conjugates with proteins)

L25 ANSWER 4 OF 16 ZCA COPYRIGHT 2006 ACS on STN

141:59665 Bifunctional polyethylene glycol derivatives. Rosen, Perry;
Nho, Kwang (USA). U.S. Pat. Appl. Publ. US 2004115165 A1 20040617,
52 pp. (English). CODEN: USXXCO. APPLICATION: US 2003-721013
20031121. PRIORITY: US 2002-PV428809 20021125.

AB The present invention provides novel heterobifunctional and monobifunctional polyethylene glycol derivs. for the pegylation of

therapeutically active proteins. The heterobifunctional PEGs which bear two different functional groups as well as the monobifunctional PEGs which contain two similar functional groups, may be used for crosslinking purposes. The crosslinking may be intramol. between two areas within the same mol. or intermol. between two sep. mols. The pegylated protein conjugates that are produced, retain a substantial portion of their therapeutic activity and are less immunogenic than the protein from which the conjugate is derived. New syntheses for prepg. such bifunctional derivs. are described.

IT 650634-84-7P 705933-20-6P 705933-21-7P 705933-22-8P 705933-23-9P 705933-26-2P 705933-27-3P

(bifunctional polyethylene glycol derivs.)

RN 650634-84-7 ZCA

CN

Poly(oxy-1,2-ethanediyl), α -[2-oxo-2-[(3-oxopropyl)amino]ethyl]- ω -[2-oxo-2-[(3-oxopropyl)amino]ethoxy]-(9CI) (CA INDEX NAME)

OHC- CH_2 - CH_2 - NH- C- CH_2 - CH_2

PAGE 1-B

— cн₂— сно

RN 705933-20-6 ZCA

CN Poly(oxy-1,2-ethanediyl), α -[3-oxo-3-[(4-oxobutyl)amino]propyl]- ω -[3-oxo-3-[(4-oxobutyl)amino]propoxy]-(9CI) (CA INDEX NAME)

OHC- (CH₂) 3-NH-C-CH₂-CH

PAGE 1-B

$$-$$
 NH $-$ (CH₂)₃ $-$ CHO

RN 705933-21-7 ZCA

CN Poly(oxy-1,2-ethanediyl), α -[[(3-oxopropyl)amino]carbonyl]- ω -[[(3-oxopropyl)amino]carbonyl]oxy]- (9CI) (CA INDEX NAME)

$$\text{OHC-} \, \text{CH}_2 - \text{CH}_2 - \text{NH-} \, \text{C} - \underbrace{ \begin{array}{c} \text{O} \\ \text{II} \\ \text{O-} \text{CH}_2 - \text{CH}_2 \\ \end{array} }_{n} \, \text{O-} \, \text{C-} \, \text{NH-} \, \text{CH}_2 - \text{CH}_2 - \text{CHO}$$

RN 705933-22-8 ZCA

CN Poly(oxy-1,2-ethanediyl), α -[[(4-oxobutyl)amino]carbonyl]- ω -[[(4-oxobutyl)amino]carbonyl]oxy]- (9CI) (CA INDEX NAME)

RN 705933-23-9 ZCA

CN Poly(oxy-1,2-ethanediyl), α -[2-[[[(3-oxopropyl)amino]carbonyl]amino]ethyl]- ω -[2-[[[(3-oxopropyl)amino]carbonyl]amino]ethoxy]- (9CI) (CA INDEX NAME)

PAGE 1-A

OHC-
$$CH_2$$
- CH_2 - NH - C - NH - CH_2 -

PAGE 1-B

RN 705933-26-2 ZCA

CN Poly(oxy-1,2-ethanediyl), α -[2-(2,5-dihydro-2,5-dioxo-1H-pyrrol-1-yl)ethyl]- ω -[3-oxo-3-[(4-oxobutyl)amino]propoxy]-(9CI) (CA INDEX NAME)

RN 705933-27-3 ZCA

CN Poly(oxy-1,2-ethanediyl), α -[[(4-oxobutyl)amino]carbonyl]- ω -[2-[[6-(2,5-dihydro-2,5-dioxo-1H-pyrrol-1-yl)-1- oxohexyl]amino]ethoxy]- (9CI) (CA INDEX NAME)

PAGE 1-A

$$(CH_2)_{5} - C - NH - CH_2 - CH_2 - O - CH_2 - CH_2 - O - NH - CH_2 - CH_2 - CH_2 - O - NH - CH_2 - CH_2 - O - NH_2 - CH_2 - O - NH - CH_2 - CH_2 - O - NH - CH_2 - CH_2 - O - NH_2 - CH_2 - CH$$

PAGE 1-B

- (CH₂)₃-CHO

IT 650634-84-7P 705933-20-6P 705933-21-7P 705933-22-8P 705933-23-9P 705933-26-2P 705933-27-3P

(bifunctional polyethylene glycol derivs.)

L25 ANSWER 5 OF 16 ZCA COPYRIGHT 2006 ACS on STN
140:241006 Chemically-modified human growth hormone conjugates. Finn,
Rory; Liao, Wei; Siegel, Ned (USA). U.S. Pat. Appl. Publ. US
2004038892 A1 20040226, 33 pp., Cont.-in-part of U.S. Ser. No.

APPLICATION: US 2003-441985 CODEN: USXXCO. (English). 300,822. 20030520. PRIORITY: US 2001-331907P 20011120; US 2002-300822 20021120.

- The present invention provides a chem. modified human Growth Hormone AB (hGH) prepd. by binding a water sol. polymer to the protein. chem.-modified protein according to the present invention may have a much longer lasting hGH activity than that of the un-modified hGH, enabling reduced dose and scheduling opportunities. N-terminally monopegylated hGH was prepd. by reductive alkylation. The reaction of hGH with methoxy-PEG-propionaldehyde was catalyzed by NaCNBH4.
- 533881-60-6 533881-61-7 533881-65-1 ΙT (as activated PEG, for modification of growth hormone; chem.-modified human growth hormone conjugates with water-sol. polymer)
- 533881-60-6 RN ZCA Poly(oxy-1,2-ethanediyl), α -methyl- ω -[2-[3-[[[(3-CN

oxopropyl)amino]carbonyl]oxy]methyl]-1-piperidinyl]ethoxy]- (9CI) (CA INDEX NAME)

PAGE 1-B

PAGE 1-A

$$-0$$
 Me

533881-61-7 ZCA RN Poly(oxy-1,2-ethanediyl), α -methyl- ω -[2-[4-[3-[[(3-CN oxopropyl)amino]carbonyl]oxy]propyl]-1-piperazinyl]ethoxy]- (9CI) (CA INDEX NAME)

PAGE 1-A

$$Me = \begin{bmatrix} O & CH_2 & CH_$$

PAGE 1-B

— cн₂- cн₂- сно

RN 533881-65-1 ZCA

CN Poly(oxy-1,2-ethanediyl), α,α' -[[(1S)-1-[[(2-oxoethyl)amino]carbonyl]-1,5-pentanediyl]bis(iminocarbonyl)]bis[.ome ga.-methoxy- (9CI) (CA INDEX NAME)

IT 533881-60-6 533881-61-7 533881-65-1

(as activated PEG, for modification of growth hormone; chem.-modified human growth hormone conjugates with water-sol.polymer)

L25 ANSWER 6 OF 16 ZCA COPYRIGHT 2006 ACS on STN

140:187355 Preparation of PEGylated T1249 polypeptide conjugates as antiviral agents. Bailon, Pascal Sebastian; Won, Chee-Youb (F. Hoffmann-La Roche AG, Switz.). PCT Int. Appl. WO 2004013165 A1 20040212, 61 pp. DESIGNATED STATES: W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW,

MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG, TR. (English). CODEN: PIXXD2. APPLICATION: WO 2003-EP7711 20030716. PRIORITY: US 2002-2002/PV39819U 20020724; US 2003-2003/PV439213 20030110.

Pegylated T1249 polypeptide compds. are provided. Also provided are pharmaceutical compns. contg. pegylated T1249 polypeptide compds., and processes of making. Further provided is the use of pharmaceutical compn. comprising, in admixt. with a pharmaceutically acceptable excipient, a PEGylated T1249 polypeptide conjugate, for the prepn. of a medicament for the inhibition of HIV infection. Propionaldehyde-PEG was reacted with T1249 to obtain propionaldehyde-PEG-T1249 conjugate. Antiviral efficacy of the conjugate was shown in rats.

IT 650634-82-5DP, reaction with T1249 650634-82-5P (prepn. of PEGylated T1249 polypeptide conjugates as antiviral agents)

RN 650634-82-5 ZCA

CN Poly(oxy-1,2-ethanediyl), α -methyl- ω -[2-oxo-2-[(4-oxobutyl)amino]ethoxy]- (9CI) (CA INDEX NAME)

OHC-
$$(CH_2)_3$$
-NH-C- CH_2 -O- CH_2 -CH₂-CH₂-O- D n

RN 650634-82-5 ZCA

CN Poly(oxy-1,2-ethanediyl), α -methyl- ω -[2-oxo-2-[(4-oxobutyl)amino]ethoxy]- (9CI) (CA INDEX NAME)

IT 650634-81-4P

(prepn. of PEGylated T1249 polypeptide conjugates as antiviral agents)

RN 650634-81-4 ZCA

CN Poly(oxy-1,2-ethanediyl), α -[2-[(4,4-diethoxybutyl)amino]-2-oxoethyl]- ω -methoxy- (9CI) (CA INDEX NAME)

IT 650634-82-5DP, reaction with T1249 650634-82-5P

(prepn. of PEGylated T1249 polypeptide conjugates as antiviral agents)

IT 650634-81-4P

(prepn. of PEGylated T1249 polypeptide conjugates as antiviral agents)

- L25 ANSWER 7 OF 16 ZCA COPYRIGHT 2006 ACS on STN
- 140:187354 Preparation of PEGylated T20 polypeptide conjugates as antiviral agents. Bailon, Pascal Sebastian; Won, Chee-Youb (F. Hoffmann-La Roche AG, Switz.). PCT Int. Appl. WO 2004013164 A1 20040212, 38 pp. DESIGNATED STATES: W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG, TR. (English). CODEN: PIXXD2. APPLICATION: WO 2003-EP7710 20030716. PRIORITY: US 2002-2002/PV398195 20020724.
- AB Pegylated T20 polypeptide compds. are provided. Also provided are pharmaceutical compns. contg. pegylated T20 polypeptide compds., and processes of making and using such compds. and compns. Propionaldehyde-PEG was reacted with T20 to obtain propionaldehyde-PEG-T20 conjugate (I). The IC50 of I was 0.261 μg/mL.
- IT **650634-82-5DP**, reaction with T20 peptide **650634-82-5P**

(prepn. of PEGylated T20 polypeptide conjugates as antiviral agents)

- RN 650634-82-5 ZCA
- CN Poly(oxy-1,2-ethanediyl), α -methyl- ω -[2-oxo-2-[(4-oxobutyl)amino]ethoxy]- (9CI) (CA INDEX NAME)

OHC-
$$(CH_2)_3$$
-NH-C- CH_2 -O- CH_2 -CH2-CH2-O- n Me

RN 650634-82-5 ZCA

CN Poly(oxy-1,2-ethanediyl), α -methyl- ω -[2-oxo-2-[(4-oxobutyl)amino]ethoxy]- (9CI) (CA INDEX NAME)

OHC-
$$(CH_2)_3$$
-NH-C- CH_2 -O- CH_2 -CH₂-CH₂-O- Me

IT 650634-81-4P

(prepn. of PEGylated T20 polypeptide conjugates as antiviral agents)

RN 650634-81-4 ZCA

CN Poly(oxy-1,2-ethanediyl), α -[2-[(4,4-diethoxybutyl)amino]-2-oxoethyl]- ω -methoxy- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{OEt} & \text{O} \\ & | \\ \text{EtO-CH-} \text{ (CH$_2$) } \text{3-NH-C-CH$_2$} & \text{O-CH$_2$-CH$_2$} \\ \end{array}$$

IT **650634-82-5DP**, reaction with T20 peptide **650634-82-5P**

(prepn. of PEGylated T20 polypeptide conjugates as antiviral agents)

IT 650634-81-4P

(prepn. of PEGylated T20 polypeptide conjugates as antiviral agents)

- L25 ANSWER 8 OF 16 ZCA COPYRIGHT 2006 ACS on STN
- 140:181998 Novel monofunctional polyethylene glycol aldehydes. Rosen, Perry; Nho, Kwang (Sun Bio, Inc., USA). U.S. Pat. Appl. Publ. US 2004034188 A1 20040219, 16 pp., Cont.-in-part of U.S. Ser. No. 303,260. (English). CODEN: USXXCO. APPLICATION: US 2003-431294 20030507. PRIORITY: KR 2001-78244 20011211; US 2002-2002/PV34845U 20020116; US 2002-2002/PV38150U 20020517; US 2002-2002/PV40774U 20020903; US 2002-2002/303260 20021125.
- AB The present invention provides novel monofunctional polyethylene glycol aldehydes for the pegylation of therapeutically active proteins. The pegylated protein conjugates that are produced, retain a substantial portion of their therapeutic activity and are less immunogenic than the protein from which the conjugate is derived. New syntheses for prepg. such aldehydes are described.
- IT 544706-95-8P

(novel monofunctional polyethylene glycol aldehydes for pegylation of therapeutically active proteins)

RN 544706-95-8 ZCA

CN Poly(oxy-1,2-ethanediyl), α -methyl- ω -[2-oxo-2-[(3-oxopropyl)amino]ethoxy]- (9CI) (CA INDEX NAME)

IT 544706-94-7P 544706-96-9P 544707-00-8P 544707-01-9P 658083-75-1P

(novel monofunctional polyethylene glycol aldehydes for pegylation of therapeutically active proteins)

RN 544706-94-7 ZCA

CN Poly(oxy-1,2-ethanediyl), α -[2-[(3,3-diethoxypropyl)amino]-2-oxoethyl]- ω -methoxy- (9CI) (CA INDEX NAME)

RN 544706-96-9 ZCA

CN Poly(oxy-1,2-ethanediyl), α -[3-[(4,4-dimethoxybutyl)amino]-3-oxopropyl]- ω -methoxy- (9CI) (CA INDEX NAME)

RN 544707-00-8 ZCA

CN Poly(oxy-1,2-ethanediyl), α -[[(4,4-dimethoxybutyl)amino]carbonyl]- ω -methoxy- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{OMe} & \text{O} \\ \mid & \mid \\ \text{MeO-CH- (CH2)} \ 3-\text{NH-C} & \boxed{ } & \text{O-CH2-CH2} \\ \hline \end{array}$$

RN 544707-01-9 ZCA

CN Poly(oxy-1,2-ethanediyl), α -[2-[[[(3,3-diethoxypropyl)amino]carbonyl]amino]ethyl]- ω -methoxy- (9CI)

(CA INDEX NAME)

RN 658083-75-1 ZCA

CN Poly(oxy-1,2-ethanediyl), α -[[(3,3-diethoxypropyl)amino]carbonyl]- ω -methoxy- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{OEt} & \text{O} \\ | & \\ \text{EtO-CH-CH}_2\text{-CH}_2\text{-NH-C-} & \text{O-CH}_2\text{-CH}_2 \\ \end{array} \\ \text{EtO-CH-CH}_2 \\ \text{OHO} \\ \end{array}$$

IT 544706-97-0P 544706-99-2P 544707-02-0P 544708-06-7P

(novel monofunctional polyethylene glycol aldehydes for pegylation of therapeutically active proteins)

RN 544706-97-0 ZCA

CN Poly(oxy-1,2-ethanediyl), α -methyl- ω -[3-oxo-3-[(4-oxobutyl)amino]propoxy]- (9CI) (CA INDEX NAME)

RN 544706-99-2 ZCA

CN Poly(oxy-1,2-ethanediyl), α -[[(4-oxobutyl)amino]carbonyl]- ω -methoxy- (9CI) (CA INDEX NAME)

RN 544707-02-0 ZCA

CN Poly(oxy-1,2-ethanediyl), α -methyl- ω -[2-[[[(3-oxopropyl)amino]carbonyl]amino]ethoxy]- (9CI) (CA INDEX NAME)

RN 544708-06-7 ZCA

CN Poly(oxy-1,2-ethanediyl), α -[[(3-oxopropyl)amino]carbonyl]- ω -methoxy- (9CI) (CA INDEX NAME)

$$\mathsf{OHC}-\mathsf{CH}_2-\mathsf{CH}_2-\mathsf{NH}-\mathsf{C}- \boxed{} \mathsf{O}-\mathsf{CH}_2-\mathsf{CH}_2 - \boxed{} \mathsf{OMe}$$

IT 544706-95-8P

(novel monofunctional polyethylene glycol aldehydes for pegylation of therapeutically active proteins)

IT 544706-94-7P 544706-96-9P 544707-00-8P

544707-01-9P 658083-75-1P

(novel monofunctional polyethylene glycol aldehydes for pegylation of therapeutically active proteins)

IT 544706-97-0P 544706-99-2P 544707-02-0P 544708-06-7P

L25 ANSWER 9 OF 16 ZCA COPYRIGHT 2006 ACS on STN

140:128840 Aldehyde derivatives of polyethylene glycol. Won, √ Chee-youk (USA). U.S. Pat. Appl. Publ. US 2004019157 A1 20040129, 18 pp. (English). CODEN: USXXCO. APPLICATION: US 2003-623978 20030721. PRIORITY: US 2002-2002/PV398196 20020724.

AB Polyethylene glycol aldehyde compds. of R(CH2CH2O)nCH2CH2XYNH(CH2)pCHO (wherein R = capping groups; X = 0, NH; Y = alkylenecarbonyl, carbonyl, hydroxyalkylene, amido group; n = 10-10,000; and p = 1-3) or the like are provided. Methods of making and using such compds., as well as chem. intermediates are also provided, which may be used in connection with the pegylation of polypeptides and other biomols. (no data).

IT 650634-80-3P 650634-82-5P 650634-83-6P 650634-84-7P

(manuf. of aldehyde derivs. of polyethylene glycol)

RN 650634-80-3 ŻCA

CN Poly(oxy-1,2-ethanediyl), α -[2-oxo-2-[(4-oxobutyl)amino]ethyl]- ω -[2-oxo-2-[(4-oxobutyl)amino]ethoxy]- (9CI) (CA INDEX NAME)

PAGE 1-B

$$-$$
 (CH₂)₃-CHO

RN 650634-82-5 ZCA

CN Poly(oxy-1,2-ethanediyl), α -methyl- ω -[2-oxo-2-[(4-oxobutyl)amino]ethoxy]- (9CI) (CA INDEX NAME)

OHC-
$$(CH_2)_3$$
-NH-C- CH_2 -O- CH_2 -CH₂-CH₂-O- D n Me

RN 650634-83-6 ZCA

CN Poly(oxy-1,2-ethanediy1), α -[2-[(4,4-diethoxybuty1)amino]-2-oxoethy1]- ω -[2-oxo-2-[(4-oxobuty1)amino]ethoxy]- (9CI) (CA INDEX NAME)

PAGE 1-B

RN 650634-84-7 ZCA

CN Poly(oxy-1,2-ethanediyl), α -[2-oxo-2-[(3-oxopropyl)amino]ethyl]- ω -[2-oxo-2-[(3-oxopropyl)amino]ethoxy]-(9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 1-B

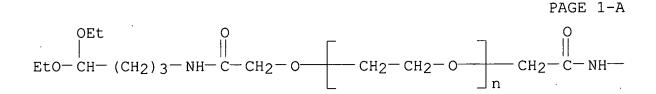
— CH2- CHO

IT 650634-79-0P 650634-81-4P

(manuf. of aldehyde derivs. of polyethylene glycol)

RN 650634-79-0 ZCA

CN Poly(oxy-1,2-ethanediyl), α -[2-[(4,4-diethoxybutyl)amino]-2-oxoethyl]- ω -[2-[(4,4-diethoxybutyl)amino]-2-oxoethoxy]- (9CI) (CA INDEX NAME)



PAGE 1-B

RN 650634-81-4 ZCA

CN Poly(oxy-1,2-ethanediyl), α -[2-[(4,4-diethoxybutyl)amino]-2-oxoethyl]- ω -methoxy- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{OEt} & \text{O} \\ \mid & \mid \\ \text{EtO-CH-} \text{(CH}_2)_3 - \text{NH-C-CH}_2 & \text{O-CH}_2 - \text{CH}_2 & \text{OMe} \\ \end{array}$$

IT 650634-80-3P 650634-82-5P 650634-83-6P 650634-84-7P

(manuf. of aldehyde derivs. of polyethylene glycol)

IT 650634-79-0P 650634-81-4P

(manuf. of aldehyde derivs. of polyethylene glycol)

L25 ANSWER 10 OF 16 ZCA COPYRIGHT 2006 ACS on STN

139:53490 Monofunctional polyethylene glycol aldehydes with various spacers, their preparation and protein conjugates. Rosen, Perry; Nho, Kwang (Sun Bio, Inc., USA). PCT Int. Appl. WO 2003049699 A2 20030619, 53 pp. DESIGNATED STATES: W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG, TR. (English). CODEN: PIXXD2. APPLICATION: WO 2002-US39434 20021209. PRIORITY: KR 2001-78244 20011211; US 2002-2002/PV34845U 20020116; US 2002-2002/PV38150U 20020517; US 2002-2002/PV407741 20020903. Novel monofunctional polyethylene glycol aldehydes are for AB pegylating therapeutically active proteins to produce pegylated protein conjugates which retain a substantial portion of their therapeutic activity and are less immunogenic than the protein from

IT 544706-95-8P 544706-97-0P 544706-99-2P 544707-02-0P 544708-06-7P

which the conjugate is derived.

(polyethylene glycol aldehydes with various spacers for conjugates with therapeutically active proteins)

RN 544706-95-8 ZCA

CN Poly(oxy-1,2-ethanediyl), α -methyl- ω -[2-oxo-2-[(3-oxopropyl)amino]ethoxy]- (9CI) (CA INDEX NAME)

RN 544706-97-0 ZCA

CN Poly(oxy-1,2-ethanediyl), α -methyl- ω -[3-oxo-3-[(4-oxobutyl)amino]propoxy]- (9CI) (CA INDEX NAME)

RN 544706-99-2 ZCA

CN Poly(oxy-1,2-ethanediyl), α -[[(4-oxobutyl)amino]carbonyl]- ω -methoxy- (9CI) (CA INDEX NAME)

RN 544707-02-0 ZCA

CN Poly(oxy-1,2-ethanediyl), α -methyl- ω -[2-[[[(3-oxopropyl)amino]carbonyl]amino]ethoxy]- (9CI) (CA INDEX NAME)

RN 544708-06-7 ZCA

CN Poly(oxy-1,2-ethanediyl), α -[[(3-oxopropyl)amino]carbonyl]- ω -methoxy- (9CI) (CA INDEX NAME)

IT 544706-94-7P 544706-96-9P 544706-98-1P 544707-00-8P 544707-01-9P

(polyethylene glycol aldehydes with various spacers for conjugates with therapeutically active proteins)

RN 544706-94-7 ZCA

CN Poly(oxy-1,2-ethanediyl), α -[2-[(3,3-diethoxypropyl)amino]-2-oxoethyl]- ω -methoxy- (9CI) (CA INDEX NAME)

RN 544706-96-9 ZCA

CN Poly(oxy-1,2-ethanediyl), α -[3-[(4,4-dimethoxybutyl)amino]-3-oxopropyl]- ω -methoxy- (9CI) (CA INDEX NAME)

RN 544706-98-1 ZCA

CN Poly(oxy-1,2-ethanediyl), α -[[(4,4-diethoxybutyl)amino]carbonyl]- ω -methoxy- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{OEt} & \text{O} \\ \mid & \mid \\ \text{EtO-CH-(CH2)}_{3} - \text{NH-C} & \text{O-CH}_{2} - \text{CH}_{2} \\ \end{array} \begin{array}{c} \text{OMe} \\ \mid & \mid \\ \text{O-CH}_{2} - \text{CH}_{2} \\ \end{array}$$

RN 544707-00-8 ZCA

CN Poly(oxy-1,2-ethanediyl), α -[[(4,4-dimethoxybutyl)amino]carbonyl]- ω -methoxy- (9CI) (CA INDEX NAME)

RN 544707-01-9 ZCA

CN Poly(oxy-1,2-ethanediyl), α -[2-[[[(3,3-diethoxypropyl)amino]carbonyl]amino]ethyl]- ω -methoxy- (9CI) (CA INDEX NAME)

MeO
$$\longrightarrow$$
 CH₂-CH₂-O \longrightarrow CH₂-CH₂-NH-C-NH-CH₂-CH₂-CH-OEt

IT 544706-95-8P 544706-97-0P 544706-99-2P 544707-02-0P 544708-06-7P

(polyethylene glycol aldehydes with various spacers for conjugates with therapeutically active proteins)

IT 544706-94-7P 544706-96-9P 544706-98-1P 544707-00-8P 544707-01-9P

(polyethylene glycol aldehydes with various spacers for conjugates with therapeutically active proteins)

- L25 ANSWER 11 OF 16 ZCA COPYRIGHT 2006 ACS on STN
- 139:26651 Modified lipids as delivery vehicles for therapeutic agents.

 Jorgensen, Michael; Keller, Michael; Miller, Andrew David; Perouzel,
 Eric (Mitsubishi Chemical Corporation, Japan). PCT Int. Appl. WO
 2003047549 A2 20030612, 102 pp. DESIGNATED STATES: W: AE, AG, AL,
 AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ,
 DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL,
 IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD,
 MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE,
 SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA,
 ZM, ZW; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI,
 FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG,
 TR. (English). CODEN: PIXXD2. APPLICATION: WO 2002-GB5471
 20021204. PRIORITY: GB 2001-29121 20011205.
- The present invention provides a delivery vehicle for a therapeutic agent comprising a modified lipid and a therapeutic agent (e.g., DNA); wherein the modified lipid comprises a lipid and a delivery, targeting or stabilizing moiety (DTS moiety); wherein the lipid is linked to the DTS moiety via a linker which is stable in biol. fluid and which is unstable in defined conditions; and wherein the DTS moiety is linked to the lipid alter formation of a complex of lipid and therapeutic agent. Thus, a cholesterol-contg. lipid was obtained by the reaction of a cholesterol deriv. with a serine deriv. Liposomes were obtained from DOPE and the above lipid. The addn. of PEG dialdehyde stabilized the liposomes.
- IT 539792-11-5P 539792-12-6P

(in prepn. of PEG-lipid systems; modified lipids as delivery vehicles for therapeutic agents)

- RN 539792-11-5 ZCA
- CN Poly(oxy-1,2-ethanediyl), α -[4-(2,5-dihydro-2,5-dioxo-1H-pyrrol-1-yl)butyl]- ω -[4-[(2,2-dimethoxyethyl)amino]-4-oxobutoxy]- (9CI) (CA INDEX NAME)

RN 539792-12-6 ZCA

CN Poly(oxy-1,2-ethanediyl), $\alpha-[4-(2,5-dihydro-2,5-dioxo-1H-pyrrol-1-yl)butyl]-\omega-[4-[(2-oxoethyl)amino]-4-oxobutoxy]-(9CI) (CA INDEX NAME)$

$$(CH_2)_4$$
 $O-CH_2-CH_2$ $O-CH_2-CH_2$ $O-CH_2)_3-C-NH-CH_2-CH_2$

IT 539792-11-5P 539792-12-6P

(in prepn. of PEG-lipid systems; modified lipids as delivery vehicles for therapeutic agents)

L25 ANSWER 12 OF 16 ZCA COPYRIGHT 2006 ACS on STN

139:12231 Chemically-modified human growth hormone conjugates. Finn, Rory F.; Lao, Wei; Siegel, Ned R. (Pharmacia Corporation, USA). PCT Int. Appl. WO 2003044056 A2 20030530, 78 pp. DESIGNATED STATES: W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG, TR. (English). CODEN: PIXXD2. APPLICATION: WO 2002-US37270 20021120. PRIORITY: US 2001-PV331907 20011120.

The present invention provides a chem. modified human Growth Hormone (hGH) prepd. by binding a water sol. polymer to the protein. The chem.-modified protein according to the present invention may have a much longer lasting hGH activity than that of the unmodified hGH, enabling reduced dose and scheduling opportunities.

IT 533881-60-6 533881-61-7 533881-65-1

(chem.-modified human growth hormone conjugates with water-sol.

polymers)

RN 533881-60-6 ZCA

CN Poly(oxy-1,2-ethanediyl), α -methyl- ω -[2-[3-[[[[(3-oxopropyl)amino]carbonyl]oxy]methyl]-1-piperidinyl]ethoxy]- (9CI) (CA INDEX NAME)

PAGE 1-A

OHC-
$$CH_2$$
- CH_2 - NH - C - O - CH_2
 CH_2 - CH_2

PAGE 1-B

$$-0$$
 Me

RN 533881-61-7 ZCA

CN Poly(oxy-1,2-ethanediyl), α -methyl- ω -[2-[4-[3-[[[(3-oxopropyl)amino]carbonyl]oxy]propyl]-1-piperazinyl]ethoxy]- (9CI) (CA INDEX NAME)

PAGE 1-B

— CH2— CH2— CHO

RN 533881-65-1 ZCA

CN Poly(oxy-1,2-ethanediyl), α,α' -[[(1S)-1-[[(2-oxoethyl)amino]carbonyl]-1,5-pentanediyl]bis(iminocarbonyl)]bis[.ome ga.-methoxy- (9CI) (CA INDEX NAME)

IT 533881-60-6 533881-61-7 533881-65-1

(chem.-modified human growth hormone conjugates with water-sol. polymers)

L25 ANSWER 13 OF 16 ZCA COPYRIGHT 2006 ACS on STN

138:78455 Ointments containing polyalkylene glycol derivative-modified biologically active polypeptides. Yamasaki, Motoo; Suzawa, Toshiyuki; Murakami, Tatsuya; Sakurai, Noriko (Kyowa Hakko Kogyo Co., Ltd., Japan). PCT Int. Appl. WO 2003000278 A1 20030103, 165 pp. DESIGNATED STATES: W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG, TR. (Japanese). CODEN: PIXXD2. APPLICATION: WO 2002-JP6227 20020621. PRIORITY: JP 2001-190330 20010622.

Disclosed are ointments contg. a chem. modified physiol. active polypeptide, wherein the chem. modified physiol. active polypeptide is exemplified by a physiol. active polypeptide chem. modified with at least one polyalkylene glycol, and the physiol. active polypeptide to be chem. modified is exemplified by superoxide dismutase, interferon- α , interferon- β , interferon- γ

and granulocyte colony-stimulating factor. A polyethylene glycol cyclohexane deriv. was prepd., and its N-hydroxysucinimide ester was reacted with recombinant human interferon- β . The modified interferon- β showed excellent antivirus activity in FL cells. Also, an ointment contg. modified interferon- β showed improved storage stability as compared with unmodified interferon- β -contg. ointment.

IT 445389-37-7P

CN

(prepn. of polyalkylene glycol deriv.-modified biol. active polypeptides for ointments)

RN 445389-37-7 ZCA

Poly(oxy-1,2-ethanediyl), α -hydro- ω -methoxy-, ether with 2-[[[(3-hydroxypropyl)amino]carbonyl]oxy]methyl]-2-[[[(4-oxobutyl)amino]carbonyl]oxy]methyl]-1,3-propanediyl bis[(3-hydroxypropyl)carbamate] (3:1) (9CI) (CA INDEX NAME)

PAGE 1-B

$$CH_2$$
 OMe CH_2 CH_2 OMe CH_2 OMe OMe OMe

IT 445389-37-7DP, conjugates with polypeptides

(prepn. of polyalkylene glycol deriv.-modified biol. active polypeptides for ointments)

RN 445389-37-7 ZCA

CN Poly(oxy-1,2-ethanediyl), α -hydro- ω -methoxy-, ether with 2-[[[(3-hydroxypropyl)amino]carbonyl]oxy]methyl]-2-[[[(4-oxobutyl)amino]carbonyl]oxy]methyl]-1,3-propanediyl bis[(3-hydroxypropyl)carbamate] (3:1) (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 1-B

IT 445389-37-7P

(prepn. of polyalkylene glycol deriv.-modified biol. active polypeptides for ointments)

IT 445389-37-7DP, conjugates with polypeptides (prepn. of polyalkylene glycol deriv.-modified biol. active polypeptides for ointments)

- ANSWER 14 OF 16 ZCA COPYRIGHT 2006 ACS on STN Branched polyalkylene glycols for modification of bioactive 137:159338 Yamasaki, Motoo; Suzawa, Toshiyuki; Murakami, Tatsuya; Sakurai, Noriko; Yamashita, Kinya; Mukai, Mayumi; Kuwabara, Takashi (Kyowa Hakko Kogyo Co., Ltd., Japan). PCT Int. Appl. WO 2002060978 Al 20020808, 82 pp. DESIGNATED STATES: W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG, TR. (Japanese). CODEN: PIXXD2. APPLICATION: WO 2002-JP709 20020130. PRIORITY: JP 2001-21616 20010130.
- Disclosed are branched polyalkylene glycols which comprise at least three single-chain polyalkylene glycols bonded to each other and have a group reactive with an amino acid side chain, an N-terminal amino group or a C-terminal carboxyl group in a polypeptide or a group which can be converted into the reactive group as described above attached thereto; and physiol. active polypeptides modified by these branched polyalkylene glycols. A three single-chain branched polyethylene glycol deriv. was prepd. from tricine and Me(OC2H5)nNCO. The obtained PEG deriv. was esterified with N-hydroxysuccinimide, and reacted with recombinant human interferon- β (rhIFN- β) soln. The modified rhIFN- β showed improved antivirus activity in FL cells and blood IFN- β concn. in mice as compared with unmodified rhIFN- β .
- IT 445389-37-7DP, esters, reaction products with bioactive peptides

(branched polyalkylene glycols for modification of bioactive peptides)

RN 445389-37-7 ZCA

CN Poly(oxy-1,2-ethanediyl), α -hydro- ω -methoxy-, ether with 2-[[[(3-hydroxypropyl)amino]carbonyl]oxy]methyl]-2-[[[(4-oxobutyl)amino]carbonyl]oxy]methyl]-1,3-propanediyl bis[(3-hydroxypropyl)carbamate] (3:1) (9CI) (CA INDEX NAME)

PAGE 1-B

$$-CH_2$$
 OMe OMe $-CH_2$ OMe OMe OMe

peptides)

IT 445389-37-7DP, esters, reaction products with bioactive peptides (branched polyalkylene glycols for modification of bioactive

L25 ANSWER 15 OF 16 ZCA COPYRIGHT 2006 ACS on STN

- 122:89211 Strategies for covalent attachment of doxorubicin to poly(PEG-Lys), a new water-soluble poly(ether urethane). Nathan, Aruna; Zalipsky, Samuel; Kohn, Joachim (Department of Chemistry, Rutgers University, New Brunswick, NJ, 08903, USA). Journal of Bioactive and Compatible Polymers, 9(3), 239-51 (English) 1994. CODEN: JBCPEV. ISSN: 0883-9115.
- AB Poly(PEG-Lys) is a new, water sol. poly(ether urethane) that has shown promise as an injectable drug carrier. To evaluate the possible use of this drug carrier in chemotherapy, three different approaches for the covalent attachment of doxorubicin to the pendent

carboxylic acid groups of poly(PEG-Lys) were developed. approach, the pendent carboxylic acid groups of poly(PEG-Lys) were converted to N-hydroxysuccinimide active esters, which spontaneously formed hydrolytically stable amide bonds upon reaction with the amino group located on the daunosamine ring of doxorubicin. amt. of amide-bound doxorubicin was about 7.3 mg/100 mg of In a second approach, the degradable hydrazone linkage was formed by reaction of the polymeric hydrazide deriv. of poly(PEG-Lys), designated as poly(PEG-Lys hydrazide), with the 13-keto group of doxorubicin. After purifn., the amt. of carrier-bound doxorubicin was 13.5 mg/100 mg of conjugate. third approach, the conjugation of doxorubicin via secondary amine linkages was explored. In this approach, the aldehyde deriv. of poly(PEG Lys), designated as poly(PEG-Lys-aldehyde), was reacted with doxorubicin, followed by redn. of the intermediate Schiff base with sodium cyanoborohydride. After extensive purifn. of the carrier, the amt. of bound doxorubicin was 10 mg/100 mg of conjugate. All conjugates were characterized by UV/Vis and FTIR spectroscopy and by thin layer chromatog. The conjugates were free of detectable contamination by unbound drug.

IT 160175-62-2

(covalent attachment of doxorubicin to poly(PEG-Lys) drug carrier)

RN 160175-62-2 ZCA

CN Hexanamide, 2,6-diisocyanato-N-(2-oxoethyl)-, (S)-, polymer with α -hydro- ω -hydroxypoly(oxy-1,2-ethanediyl) (9CI) (CA INDEX NAME)

CM 1

CRN 160175-61-1 CMF C10 H13 N3 O4

Absolute stereochemistry.

CM 2

CRN 25322-68-3 CMF (C2 H4 O)n H2 O CCI PMS

$$HO \longrightarrow CH_2 - CH_2 - O \longrightarrow n$$

IT 160175-62-2DP, doxorubicin conjugates

(prepn. of doxorubicin-poly(PEG-Lys) conjugates for drug delivery)

RN 160175-62-2 ZCA

CN Hexanamide, 2,6-diisocyanato-N-(2-oxoethyl)-, (S)-, polymer with α -hydro- ω -hydroxypoly(oxy-1,2-ethanediyl) (9CI) (CA INDEX NAME)

CM 1

CRN 160175-61-1 CMF C10 H13 N3 O4

Absolute stereochemistry.

CM 2

CRN 25322-68-3

CMF (C2 H4 O)n H2 O.

CCI PMS

$$HO \longrightarrow CH_2 - CH_2 - O \longrightarrow n$$

IT 160175-62-2

(covalent attachment of doxorubicin to poly(PEG-Lys) drug carrier)

IT 160175-62-2DP, doxorubicin conjugates
(prepn. of doxorubicin-poly(PEG-Lys) conjugates for drug delivery)

- L25 ANSWER 16 OF 16 ZCA COPYRIGHT 2006 ACS on STN
- 111:97914 Functionalization of α -hydrogen- ω -methoxypoly(oxyethylene). 1. A new method for the conversion of hydroxyl end groups into aldehyde groups. Vandoorne, Filip; Loccufier, Johan; Schacht, Etienne (Lab. Org. Chem., State Univ. Ghent, Ghent, B-9000, Belg.). Makromolekulare Chemie, Rapid Communications, 10(6), 271-5 (English) **1989**. CODEN: MCRCD4. ISSN: 0173-2803.
- AB $\alpha-[(4-\text{Formylmethyl})\,\text{aminocarbonyl}]-\omega-$ methoxypoly(oxyethylene) was prepd. from polyethylene glycol monomethyl ether by treatment with 4-nitrophenyl chloroformate in presence of 4-dimethylaminopyridine, treatment of product with 3-amino-1,2-propanediol, and oxidn. of the dihydroxy intermediate. The diol groups were converted almost quant. into the corresponding aldehyde by oxidn. with NaIO4.
- IT 122235-25-0P

(prepn. of, from polyethylene glycol monomethyl ether)

RN 122235-25-0 ZCA

CN Poly(oxy-1,2-ethanediyl), α -[[(2-oxoethyl)amino]carbonyl]- ω -methoxy- (9CI) (CA INDEX NAME)

$$\mathsf{OHC}\mathsf{-}\mathsf{CH}_2\mathsf{-}\mathsf{NH}\mathsf{-}\mathsf{C}\overset{\mathsf{O}}{-} \underbrace{\mathsf{CH}_2\mathsf{-}\mathsf{CH}_2\mathsf{-}\mathsf{CH}_2}_{\mathsf{n}} \mathsf{-} \mathsf{OMe}$$

IT 122235-25-0P

(prepn. of, from polyethylene glycol monomethyl ether)

=> D L26 1-5 CBIB ABS HITSTR HITRN

L26 ANSWER 1 OF 5 ZCA COPYRIGHT 2006 ACS on STN

139:246980 Coating and adhesive composition comprising an acetal-functional binder. Van Den Berg, Keimpe Jan; Hobel, Klaus; Van Oorschot, Josephus Christiaan; Mensink, Marcel Johannes Antonius; Raghosing, Kenny Abdoel Nassier; Van Der Ven, Leendert Gerard Jan; Hulsbos, Edith (Akzo Nobel N.V., Neth.). PCT Int. Appl. WO 2003074620 Al 20030912, 69 pp. DESIGNATED STATES: W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI,

FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG, TR. (English). CODEN: PIXXD2. APPLICATION: WO 2003-EP2298 20030305. PRIORITY: EP 2002-75971 20020307; US 2002-379178P 20020508.

The invention relates to a coating or adhesive compn. comprising an AΒ acetal-functional binder and a thiol-functional crosslinker, wherein the acetal-functional binder is represented by the following formula: P[KA(CH2)nCH(OR)(OR')]m, wherein P is a polymer backbone (such as polyurethanes and addn. polymers), K is a divalent and/or trivalent org. moiety having 1 to 30 carbon atoms and having one or two links to the binder backbone, A is selected from oxygen, sulfur, and NRVII, wherein RVII is hydrogen or an alkyl group with 1 to 4 carbon atoms, m is an integer from 1 to 50, n is an integer from 1 to 10, and R and R' may be the same or different and represent alkyl groups with 1 to 4 carbon atoms. In a further aspect, the invention relates to acetal-functional binders, acetal-functional monomers, a process for the prepn. of said monomers, coating and adhesives compns. comprising said acetal-functional binders, and to a process for the prepn. of a coating compn. comprising an acetal-functional polyurethane dispersion and a thiol-functional crosslinker such as pentaerythritol tetrakis (3-mercaptopropionate). The coatings are useful for refinishing of automobiles and large transportation vehicles. A typical binder was manufd. by heating a mixt. contg. 836:962.5 hexahydrophthalic anhydride-1,6-hexanediol copolymer (OH no. 179 mg KOH/g) 14.3, 2-butanone 75, Tegomer D3403 29.5, IPDI 59.1, 1:1 glycerol carbonate-4-aminobutyraldehyde di-Et acetal (I) adduct 53.2, 1:1 propylene carbonate-I adduct 18.9 g, and Sn(II) octanoate 0.2 g 6 h at 80°.

IT 599191-27-2DP, reaction products with propylene carbonate-aminobutyraldehyde di-Et acetal adduct 599208-10-3DP, reaction products with propylene carbonate-aminobutyraldehyde di-Me acetal adduct

(binder; coatings and adhesives contg. acetal-functional binders and, optionally, thiol-functional crosslinkers)

RN 599191-27-2 ZCA

Carbamic acid, (4,4-diethoxybutyl)-, monoester with 1,2,3-propanetriol, polymer with hexahydro-1,3-isobenzofurandione, 1,6-hexanediol, 5-isocyanato-1-(isocyanatomethyl)-1,3,3-trimethylcyclohexane and methyloxirane polymer with oxirane 2,2-bis(hydroxymethyl)butyl methyl ether (9CI) (CA INDEX NAME)

CM 1

CN

CRN 4098-71-9 CMF C12 H18 N2 O2

CRN 629-11-8 CMF C6 H14 O2

$$HO-(CH_2)_6-OH$$

CM 3

CRN 85-42-7 CMF C8 H10 O3

CM 4

CRN 599191-23-8 CMF C12 H25 N O6

CCI IDS

CM 5

CRN 599191-21-6 CMF C9 H19 N O4

CRN 56-81-5 CMF C3 H8 O3

CM 7

CRN 131689-24-2

CMF C6 H14 O3 . (C3 H6 O . C2 H4 O) \times . C H4 O

CM 8

CRN 77-99-6 CMF C6 H14 O3

$$\begin{array}{c} \text{CH}_2-\text{OH} \\ | \\ \text{HO-CH}_2-\text{C-Et} \\ | \\ \text{CH}_2-\text{OH} \end{array}$$

CM 9

CRN 67-56-1 CMF C H4 O

 ${\rm H3C-OH}$

CM 10

CRN 9003-11-6

CMF (C3 H6 O . C2 H4 O) x

CCI PMS

CM 11

CRN 75-56-9 CMF C3 H6 O



CM 12

CRN 75-21-8 CMF C2 H4 O



RN 599208-10-3 ZCA

CN Hexanedioic acid, polymer with 2,2-dimethyl-1,3-propanediol, 5-isocyanato-1-(isocyanatomethyl)-1,3,3-trimethylcyclohexane, methyloxirane polymer with oxirane 2,2-bis(hydroxymethyl)butyl methyl ether, 1,2-propanediol mono[(4,4-dimethoxybutyl)carbamate] and 1,2,3-propanetriol mono[(4,4-dimethoxybutyl)carbamate] (9CI) (CA INDEX NAME)

CM 1

CRN 4098-71-9 CMF C12 H18 N2 O2

CM 2

CRN 126-30-7 CMF C5 H12 O2

CRN 124-04-9 CMF C6 H10 O4

$$HO_2C-(CH_2)_4-CO_2H$$

CM 4

CRN 599191-26-1 CMF C10 H21 N O6 CCI IDS

CM 5

CRN 599191-24-9 CMF C7 H15 N O4

$$\begin{array}{c} \text{OMe} \\ | \\ \text{MeO-CH- (CH}_2)_3 - \text{NH-CO}_2 \text{H} \end{array}$$

CM 6

CRN 56-81-5 CMF C3 H8 O3

$$\begin{array}{c} \text{OH} \\ | \\ \text{HO-} \, \text{CH}_2\text{--} \, \text{CH-} \, \text{CH}_2\text{--} \, \text{OH} \end{array}$$

CM 7

CRN 599191-25-0 CMF C10 H21 N O5 CCI IDS

CM 8

CRN 599191-24-9 CMF C7 H15 N O4

$$\begin{array}{c} \text{OMe} \\ | \\ \text{MeO-CH- (CH}_2)_3 - \text{NH-CO}_2 \text{H} \end{array}$$

CM 9

CRN 57-55-6 CMF C3 H8 O2

CM 10

CRN 131689-24-2 CMF C6 H14 O3 . (C3 H6 O . C2 H4 O) \times . C H4 O

CM 11

CRN 77-99-6 CMF C6 H14 O3

$$\begin{array}{c} \text{CH}_2-\text{OH} \\ | \\ \text{HO-CH}_2-\text{C-Et} \\ | \\ \text{CH}_2-\text{OH} \end{array}$$

CM 12

CRN 67-56-1 CMF C H4 O

```
H<sub>3</sub>C-OH
```

CM 13

CRN 9003-11-6

CMF (C3 H6 O . C2 H4 O) x

CCI PMS

CM 14

CRN 75-56-9

CMF C3 H6 O



CM 15

CRN 75-21-8

CMF C2 H4 O



IT

RN

CN

599199-57-2P 599199-65-2P 599208-11-4P

binders and, optionally, thiol-functional crosslinkers)
599199-57-2 ZCA
Propanoic acid, 3-mercapto-, 2-ethyl-2-[(3-mercapto-1oxopropoxy)methyl]-1,3-propanediyl ester, polymer with Desmodur W,
2,2-dimethyl-1,3-propanediol, hexahydro-1,3-isobenzofurandione,
1,6-hexanediol, 5-isocyanato-1-(isocyanatomethyl)-1,3,3trimethylcyclohexane, methyloxirane polymer with oxirane
2,2-bis(hydroxymethyl)butyl methyl ether, 2,2'[oxybis(methylene)]bis[2-ethyl-1,3-propanediol], 1,2,3-propanetriol
mono[(4,4-diethoxybutyl)carbamate] and tetrahydrofuran (9CI) (CA)

(cured coating; coatings and adhesives contq. acetal-functional

CM 1

INDEX NAME)

CRN 79103-62-1

CMF Unspecified
CCI MAN

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

CM 2

CRN 33007-83-9 CMF C15 H26 O6 S3

CM 3

CRN 23235-61-2 CMF C12 H26 O5

CM 4

CRN 4098-71-9 CMF C12 H18 N2 O2

CM 5

CRN 629-11-8 CMF C6 H14 O2

 $HO-(CH_2)_6-OH$

CM 6

CRN 126-30-7 CMF C5 H12 O2

CM 7

.CRN 109-99-9 CMF C4 H8 O

 \bigcirc

CM 8

CRN 85-42-7 CMF C8 H10 O3

CM 9

CRN 599191-23-8

CMF C12 H25 N O6 CCI IDS

CM 10

CRN 599191-21-6 CMF C9 H19 N O4

OEt
$$\mid$$
 EtO-CH-(CH₂)₃-NH-CO₂H

CM 11

CRN 56-81-5 CMF C3 H8 O3

CM 12

CRN 131689-24-2

CMF C6 H14 O3 . (C3 H6 O . C2 H4 O) \mathbf{x} . C H4 O

CM 13

CRN 77-99-6 CMF C6 H14 O3

$$\begin{array}{c} \text{CH}_2-\text{OH} \\ | \\ \text{HO-CH}_2-\text{C-Et} \\ | \\ \text{CH}_2-\text{OH} \end{array}$$

CM 14

CRN 67-56-1 CMF C H4 O

```
H3C-OH
```

CM 15

CRN 9003-11-6

CMF (C3 H6 O . C2 H4 O) × CCI PMS

CM 16

CRN 75-56-9

CMF C3 H6 O



CM 17

CRN 75-21-8

CMF C2 H4 O



RN 599199-65-2 ZCA
CN Propanoic acid, 3-mercapto-, 2-ethyl-2-[(3-mercapto-1-oxopropoxy)methyl]-1,3-propanediyl ester, polymer with 2,2-dimethyl-1,3-propanediol, hexahydro-1,3-isobenzofurandione, 1,6-hexanediol, 5-isocyanato-1-(isocyanatomethyl)-1,3,3-trimethylcyclohexane, 1,1'-methylenebis[4-isocyanatocyclohexane], methyloxirane polymer with oxirane 2,2-bis(hydroxymethyl)butyl methyl ether, 2,2'-[oxybis(methylene)]bis[2-ethyl-1,3-propanediol], 1,2,3-propanetriol mono[(4,4-diethoxybutyl)carbamate] and tetrahydrofuran (9CI) (CA INDEX NAME)

CM 1

CRN 33007-83-9

CMF C15 H26 O6 S3

CRN 23235-61-2 CMF C12 H26 O5

CM 3

CRN 5124-30-1 CMF C15 H22 N2 O2

CM 4

CRN 4098-71-9 CMF C12 H18 N2 O2

CRN 629-11-8 CMF C6 H14 O2

 $HO-(CH_2)_6-OH$

CM 6

CRN 126-30-7 CMF C5 H12 O2

CM 7

CRN 109-99-9 CMF C4 H8 O

CM 8

CRN 85-42-7 CMF C8 H10 O3

```
CM 9
```

CRN 599191-23-8

CMF C12 H25 N O6

CCI IDS

CM 10

CRN 599191-21-6 CMF C9 H19 N O4

OEt
$$|$$
 EtO-CH-(CH₂)₃-NH-CO₂H

CM 11

CRN 56-81-5 CMF C3 H8 O3

CM 12

CRN 131689-24-2

CMF C6 H14 O3 . (C3 H6 O . C2 H4 O)x . C H4 O

CM 13

CRN 77-99-6 CMF C6 H14 O3

$$\begin{array}{c} \text{CH}_2-\text{OH} \\ | \\ \text{HO-CH}_2-\text{C-Et} \\ | \\ \text{CH}_2-\text{OH} \end{array}$$

CM 14

```
CRN 67-56-1
CMF C H4 O
```

нзс-он

CM 15

CRN 9003-11-6

CMF (C3 H6 O . C2 H4 O) x

CCI PMS

CM 16

CRN 75-56-9

CMF C3 H6 O



CM 17

CRN 75-21-8

CMF C2 H4 O



RN 599208-11-4 ZCA

CN Hexanedioic acid, polymer with 2,2-bis[(3-mercapto-1-oxopropoxy)methyl]-1,3-propanediyl bis(3-mercaptopropanoate), 2,2-dimethyl-1,3-propanediol, 5-isocyanato-1-(isocyanatomethyl)-1,3,3-trimethylcyclohexane, methyloxirane polymer with oxirane, 2,2-bis(hydroxymethyl)butyl methyl ether, 1,2-propanediol mono[(4,4-dimethoxybutyl)carbamate] and 1,2,3-propanetriol mono[(4,4-dimethoxybutyl)carbamate] (9CI) (CA INDEX NAME)

CRN 7575-23-7 CMF C17 H28 O8 S4

1

CM

CRN 4098-71-9 CMF C12 H18 N2 O2

CM 3

CRN 126-30-7 CMF C5 H12 O2

CM 4

CRN 124-04-9 CMF C6 H10 O4

 ${\rm HO_2C-}$ (CH₂)₄- ${\rm CO_2H}$

```
CM 5
```

CRN 599191-26-1 CMF C10 H21 N O6

CCI IDS

CM 6

CRN 599191-24-9 CMF C7 H15 N O4

$$\begin{array}{c} \text{OMe} \\ | \\ \text{MeO-CH- (CH}_2)_3 - \text{NH-CO}_2\text{H} \end{array}$$

CM 7

CRN 56-81-5 CMF C3 H8 O3

$$\begin{array}{c} \text{OH} \\ | \\ \text{HO-CH}_2\text{--CH-CH}_2\text{--OH} \end{array}$$

CM 8

CRN 599191-25-0 CMF C10 H21 N O5 CCI IDS

CM 9

CRN 599191-24-9 CMF C7 H15 N O4

CM 10

CRN 57-55-6

CMF C3 H8 O2

CM 11

CRN 131689-24-2

CMF C6 H14 O3 . (C3 H6 O . C2 H4 O) x . C H4 O

CM 12

CRN 77-99-6 CMF C6 H14 O3

$$\begin{array}{c} \text{CH}_2-\text{OH} \\ | \\ \text{HO-CH}_2-\text{C-Et} \\ | \\ \text{CH}_2-\text{OH} \end{array}$$

CM 13

CRN 67-56-1 CMF C H4 O

нзс-он

CM 14

CRN 9003-11-6

CMF (C3 H6 O . C2 H4 O) \times

CCI PMS

CM 15

CRN 75-56-9 CMF C3 H6 O



CRN 75-21-8 CMF C2 H4 O



599191-27-2DP, reaction products with propylene
carbonate-aminobutyraldehyde di-Et acetal adduct
599208-10-3DP, reaction products with propylene
carbonate-aminobutyraldehyde di-Me acetal adduct
 (binder; coatings and adhesives contg. acetal-functional binders
 and, optionally, thiol-functional crosslinkers)

ANSWER 2 OF 5 ZCA COPYRIGHT 2006 ACS on STN L26 Smith, Richard Anthony 138:103294 Protein modification reagents. Godwin; Betley, Jason Richard (Adprotech Limited, UK). Appl. WO 2003006433 A1 20030123, 25 pp. DESIGNATED STATES: W: AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG, TR. (English). CODEN: APPLICATION: WO 2002-GB3210 20020715. PRIORITY: GB PIXXD2. 2001-17193 20010713.

AB The invention relates to a protein modification reagent capable of introducing aldehyde or ketone functions into proteins. These compds. can be used to modify peptides in a site-specific and pharmaceutically acceptable manner. Also described are methods for modifying peptides and their use in pharmaceutical compns.

IT 488128-08-1P, APT 2494

(protein modification reagents)

RN 488128-08-1 ZCA

CN Poly(oxy-1,2-ethanediyl), α -[3-[(4,4-diethoxybutyl)amino]-3-oxopropyl]- ω -[2-[[1-oxo-3-(2-pyridinyldithio)propyl]amino]ethoxy]- (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 1-B

$$\begin{array}{c|c} O & \text{OEt} \\ \parallel & \parallel \\ -O & \parallel \\ n & \text{CH}_2-\text{CH}_2-\text{C-NH-(CH}_2)} & 3-\text{CH-OEt} \end{array}$$

IT 488128-10-5P, APT 2492 488128-12-7P, APT 2493

(protein modification reagents)

RN 488128-10-5 ZCA

CN Poly(oxy-1,2-ethanediyl), α -[3-[(4,4-diethoxybutyl)amino]-3-oxopropyl]- ω -[2-[[(9H-fluoren-9-ylmethoxy)carbonyl]amino]ethox y]- (9CI) (CA INDEX NAME)

PAGE 1-A

$$\begin{array}{c|c} \text{OEt} & \text{O} \\ \mid & \mid \\ \text{EtO-CH-} \text{ (CH}_2\text{) }_3\text{-NH-C-CH}_2\text{--CH}_2 \\ \hline \end{array} \begin{array}{c} \text{O-CH}_2\text{--CH}_2\text{---CH}_2 \\ \hline \end{array} \begin{array}{c} \text{O-CH}_2\text{--CH}_2 \\ \hline \end{array}$$

PAGE 1-B

RN 488128-12-7 ZCA

CN Poly(oxy-1,2-ethanediyl), α -(2-aminoethyl)- ω -[3-[(4,4-diethoxybutyl)amino]-3-oxopropoxy]- (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 1-B

--- NH2

IT **488128-08-1P**, APT 2494

(protein modification reagents)

IT **488128-10-5P**, APT 2492 **488128-12-7P**, APT 2493 (protein modification reagents)

L26 ANSWER 3 OF 5 ZCA COPYRIGHT 2006 ACS on STN

- 126:158869 Water-thinned inks and ink-jet recording process and apparatus using the same producing water-resistant images with reduced feathering or bleeding. Kimura, Isao; Maeda, Hiroyuki; Kubota, Hidemi (Canon Kk, Japan). Jpn. Kokai Tokkyo Koho JP 08333536 A2 19961217 Heisei, 19 pp. (Japanese). CODEN: JKXXAF. APPLICATION: JP 1995-161534 19950606.
- AB The title inks contain polymers having thermoreversible thickening properties prepd. by copolymg. monomers contg. ≥50% mixts. of ≥1 monomers forming water-sol. polymers having clouding point and ≥1 CH2:CR1CO2(CnH2nO)x(CmH2mO)y(ClH2lO)zR2 [R1 = H, Me;

R2 = H, C1-30 alkyl, (alkyl)phenyl, (alkyl)aminoalkyl; l, m, n = 2-4; x = 2-50; y, z = 0-50; excluding n = m or l = m]. An ink comprised C.I. Direct Black 154 3.0, diethylene glycol 20, isopropanol 2, urea 3, 90:10 N-ethylmethacrylamide-diethylene glycol Me ether methacrylate copolymer (mol. wt. 200,000) 3, and ion-exchanged water 69 parts.

IT 186541-42-4

(water-thinned inks and ink-jet recording process and app. using the same producing water-resistant images with reduced feathering or bleeding)

RN 186541-42-4 ZCA

CN 2-Propenamide, N-(2,2-dimethoxyethyl)-, polymer with α -(2-methyl-1-oxo-2-propenyl)- ω -[2- (dimethylamino)ethoxy]poly(oxy-1,2-ethanediyl) (9CI) (CA INDEX NAME)

CM 1

CRN 184422-90-0

CMF (C2 H4 O)n C8 H15 N O2

CCI PMS

CM 2

CRN 49707-23-5 CMF C7 H13 N O3

IT 186541-42-4

(water-thinned inks and ink-jet recording process and app. using the same producing water-resistant images with reduced feathering or bleeding)

L26 ANSWER 4 OF 5 ZCA COPYRIGHT 2006 ACS on STN
126:96966 Water-thinnable ink receptor, recording material, and ink-jet recording method. Kimura, Isao; Maeda, Hiroyuki; Kubota, Hidemi (Canon KK, Japan). Jpn. Kokai Tokkyo Koho JP 08244334 A2

19960924 Heisei, 17 pp. (Japanese). CODEN: JKXXAF. APPLICATION: JP 1995-51190 19950310.

The ink-receptor contains reversibly thermal-shrinking copolymer contg. ≥50% monomers whose water-sol. polymers show thermosensitive behavior and CH2:CR1COO(CnH2nO)x(CmH2mO)y(ClH2lO)zR2 [R1 = H, Me; R2 = H, C1-30 alkyl, (alkyl-substituted) Ph, (alkyl-substituted) aminoalkyl; n = 2-5; m = 2-5; L = 2-5; x = 2-50; y = 0-50; z = 0-50]. The monomers for thermosensitive behavior may be active H-contg. azoheterocyclic compd.-alkylene oxide adduct vinylcarboxylate ester. The ink-receptor is used for the recording material and in the method. The receptor gives high-d. ink images.

IT 184422-91-1

(water-thinnable ink receptor contg. thermally shrinkable polymer for ink-jet recording)

RN 184422-91-1 ZCA

CN 2-Propenamide, N-(2,2-dimethoxyethyl)-N-methyl-, polymer with α -(2-methyl-1-oxo-2-propenyl)- ω -[2- (dimethylamino)ethoxy]poly(oxy-1,2-ethanediyl) (9CI) (CA INDEX NAME)

CM 1

CRN 184422-90-0 CMF (C2 H4 O)n C8 H15 N O2 CCI PMS

$$\begin{array}{c|c} \text{H}_2\text{C} & \text{O} \\ \parallel & \parallel \\ \text{Me-C-C} & \text{O-CH}_2\text{-CH}_2 \\ \hline \end{array} \begin{array}{c} \text{O-CH}_2\text{-CH}_2\text{-NMe}_2 \\ \end{array}$$

CM 2

CRN 95984-13-7 CMF C8 H15 N O3

IT 184422-91-1

(water-thinnable ink receptor contg. thermally shrinkable polymer for ink-jet recording)

L26 ANSWER 5 OF 5 ZCA COPYRIGHT 2006 ACS on STN

76:147258 Sulfonated poly(vinyl alcohol) derivatives as absorbent layers in photographic processing webs. Smith, Donald A.; Verdone, Joseph A. (Eastman Kodak Co.). U.S. US 3647464 19720307, 10 pp. (English). CODEN: USXXAM. APPLICATION: US 1970-30968 19700422.

GI For diagram(s), see printed CA Issue.

A photog. processing web having high absorptivity and stability to AB alk. processing solns. is prepd. using sulfonated poly(vinyl alc.) (PVA) contg. hardener-cross-linkable sulfoacetal groups, active methylene groups that improve the hardening ability, and an inner salt structure useful for the prepn. of poly(vinyl acetals) which impart the high absorptivity to the polymer. The polymers have the repeating units I, where k = 64-95 mole %, l = 0-15 mole %, m is 5-20 mole %, n = 0-10 mole %; X is SO3- or SO3Z (Z = H, Na, K, Li); R1 is $(CH2) \times NH$ (x = 1-4); Y is a radical contg. an active CH2 group; R is sulfophenylene, methoxyphenylene, or the inner salt [AR2NR2B]+ (A and B are C3-4 alkylene groups, R2 is H or alkyl) when X is SO3and R1Y is CH2NHCOCH2CN. E.g., a polymer is prepd. by treating an ag. soln. contg. 44.1 g PVA (Elvanol 71-30) with an aq. soln. contg. 34 ml concd. HCl and 38.2 g 1-sulfo-4,4-dimethyl-4-azonia-6,6diethoxyhexane. To 400 ml of a 10% soln. of this prepd. polymer are added 40 ml of 2% H3BO3 soln., 5 ml of a phys. development nuclei prepn., and a coating aid. This mixt. is coated on a subbed support to give 1.4 g solids/ft2, fumed with NH3, dried, hardened with a succinaldehyde-H2SO4 soln., and imbibed with alk. processing soln., absorbing 15.1 g/ft2.

IT 36631-10-4

(absorbent layers, for photographic contact processing webs)

RN 36631-10-4 ZCA

CN Benzenesulfonic acid, 2-formyl-, sodium salt, polymer with 2-cyano-N-(2,2-diethoxyethyl)acetamide and ethenol (9CI) (CA INDEX NAME)

CM 1

CRN 15029-48-8 CMF C9 H16 N2 O3

OEt O
$$\parallel$$
 \parallel EtO-CH-CH₂-NH-C-CH₂-CN

CM 2

CRN 1008-72-6 CMF C7 H6 O4 S . Na

● Na

CM 3

CRN 557-75-5 CMF C2 H4 O

н2С = СН − ОН

IT 36631-10-4

(absorbent layers, for photographic contact processing webs)